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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2	Dec 17	The CA Lexicon available in the CAPLUS and CA files
NEWS	3	Feb 06	Engineering Information Encompass files have new names
NEWS	4	Feb 16	TOXLINE no longer being updated
NEWS	5	Apr 23	Search Derwent WPINDEX by chemical structure
NEWS	6	Apr 23	PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA
NEWS	7	May 07	DGENE Reload
NEWS	8	Jun 20	Published patent applications (A1) are now in USPATFULL
NEWS	9	JUL 13	New SDI alert frequency now available in Derwent's DWPI and DPCI
NEWS	10	Aug 23	In-process records and more frequent updates now in MEDLINE
NEWS	11	Aug 23	PAGE IMAGES FOR 1947-1966 RECORDS IN CAPLUS AND CA
NEWS	12	Aug 23	Adis Newsletters (ADISNEWS) now available on STN
NEWS	13	Sep 17	IMSworld Pharmaceutical Company Directory name change to PHARMASEARCH
NEWS	14	Oct 09	Korean abstracts now included in Derwent World Patents Index
NEWS	15	Oct 09	Number of Derwent World Patents Index updates increased
NEWS	16	Oct 15	Calculated properties now in the REGISTRY/ZREGISTRY File
NEWS	17	Oct 22	Over 1 million reactions added to CASREACT
NEWS	18	Oct 22	DGENE GETSIM has been improved
NEWS	19	Oct 29	AAASD no longer available
NEWS	20	Nov 19	New Search Capabilities USPATFULL and USPAT2
NEWS	21	Nov 19	TOXCENTER(SM) - new toxicology file now available on STN
NEWS	22	Nov 29	COPPERLIT now available on STN
NEWS	23	Nov 29	DWPI revisions to NTIS and US Provisional Numbers
NEWS	24	Nov 30	Files VETU and VETB to have open access
NEWS	25	Dec 10	WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
NEWS	26	Dec 10	DGENE BLAST Homology Search
NEWS	27	Dec 17	WELDASEARCH now available on STN
NEWS	28	Dec 17	STANDARDS now available on STN
NEWS	29	Dec 17	New fields for DPCI
NEWS	30	Dec 19	CAS Roles modified
NEWS	31	Dec 19	1907-1946 data and page images added to CA and Caplus
NEWS EXPRESS		August 15	CURRENT WINDOWS VERSION IS V6.0c, CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP), AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS INTER			General Internet Information
NEWS LOGIN			Welcome Banner and News Items
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NEWS WWW			CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 16:08:32 ON 27 DEC 2001

=> file registry

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.15

0.15

FILE 'REGISTRY' ENTERED AT 16:08:39 ON 27 DEC 2001

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DICTIONARY FILE UPDATES: 26 DEC 2001 HIGHEST RN 378741-70-9

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 9777920.str

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 16:09:05 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 280 TO ITERATE

100.0% PROCESSED 280 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 4597 TO 6603

PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 16:09:12 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 5818 TO ITERATE

Print selected from Online session16:10Page 2

Print selected from Online session27/12/2001

100.0% PROCESSED 5818 ITERATIONS
SEARCH TIME: 00.00.02

15 ANSWERS

L3 15 SEA SSS FUL L1

=> file uspatfull
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
133.56	133.71

FILE 'USPATFULL' ENTERED AT 16:09:18 ON 27 DEC 2001
CA INDEXING COPYRIGHT (C) 2001 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 27 Dec 2001 (20011227/PD)
FILE LAST UPDATED: 27 Dec 2001 (20011227/ED)
HIGHEST GRANTED PATENT NUMBER: US6330719
HIGHEST APPLICATION PUBLICATION NUMBER: US2001056584
CA INDEXING IS CURRENT THROUGH 27 Dec 2001 (20011227/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 27 Dec 2001 (20011227/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2001
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2001

>>> Page images are available for patents from 1/1/1998. Patents <<<
>>> and applications are typically loaded on the day of publication.<<<
>>> Page images are available for display by the following day. <<<
>>> Image data for the /FA field are available the following update.<<<

>>> Complete CA file indexing for chemical patents (or equivalents) <<<
>>> is included in file records. A thesaurus is available for the <<<
>>> USPTO Manual of Classifications in the /NCL, /INCL, and /RPCL <<<
>>> fields. This thesaurus includes catchword terms from the <<<
>>> USPTO/MOC subject headings and subheadings. Thesauri are also <<<
>>> available for the WIPO International Patent Classification <<<
>>> (IPC) Manuals, editions 1-6, in the /IC1, /IC2, /IC3, /IC4, <<<
>>> /IC5, and /IC (/IC6) fields, respectively. The thesauri in <<<
>>> the /IC5 and /IC fields include the corresponding catchword <<<
>>> terms from the IPC subject headings and subheadings. <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l3
L4 0 L3

=> file caplus
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
1.40	135.11

FILE 'CAPLUS' ENTERED AT 16:09:25 ON 27 DEC 2001
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26, 1996), unless otherwise indicated in the original publications.

FILE COVERS 1907 - 27 Dec 2001 VOL 135 ISS 26
FILE LAST UPDATED: 26 Dec 2001 (20011226/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

Capplus now provides online access to patents and literature covered in CA from 1907 to the present. Bibliographic information and abstracts were added in 2001 for over 3.8 million records from 1907-1966.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

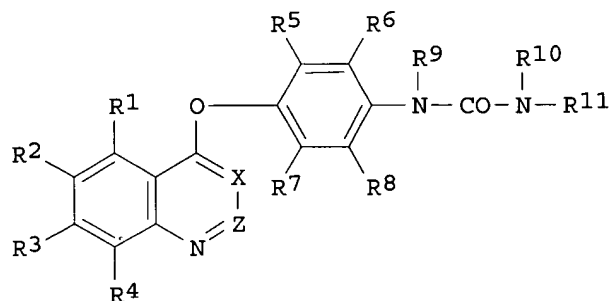
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=> s l3

L5 3 L3

=> d abs bib hitstr 1-3

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2001 ACS
GI



I

AB Title compds. [I; X and Z represent each CH or N; R1-3 represent each H, optionally substituted alkoxy, etc.; R4 represents H; R5-8 represent each H, halogeno, alkyl, alkoxy, alkylthio, nitro or amino, provided that all of R5-8 do not represent H simultaneously; R9 and R10 represent each H, alkyl or alkylcarbonyl; and R11 represents alkyl, alkenyl, alkynyl or

aralkyl], pharmaceutically acceptable salts and solvates, and medicinal compns. contg. the same are prepd. and tested having antitumor activity and causing no morphol. change in cells. Thus, the title compd. I (X = CH; Z = CH; R1, R4, R5, R7-R10 each an H; R11 = 3,5-F2C6H3) was prepd. and tested.

AN 2000:513673 CAPLUS

DN 133:135235

TI Preparation and anti-tumor, anti-atherosclerosis, anti-psoriasis, anti-diabetes, and anti-arthritis activities of quinolines and quinazolines

IN Kubo, Kazuo; Fujiwara, Yasunari; Isoe, Toshiyuki

PA Kirin Beer Kabushiki Kaisha, Japan

SO PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000043366	A1	20000727	WO 2000-JP255	20000120
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000007656	A	20011030	BR 2000-7656	20000120
	EP 1153920	A1	20011114	EP 2000-900841	20000120
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	JP 1999-26691	A	19990203		
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	JP 1999-253624	A	19990907		
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OS MARPAT 133:135235

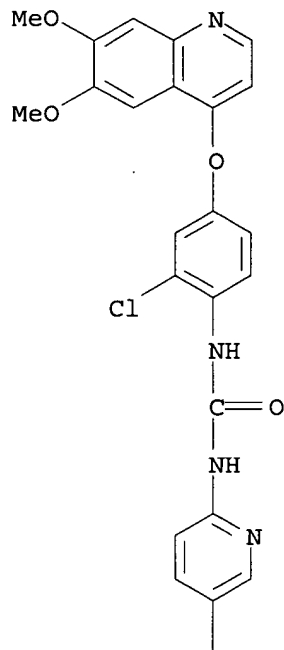
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286369-74-2P 286369-81-1P 286369-82-2P
286369-86-6P 286369-87-7P 286369-88-8P
286369-97-9P 286370-38-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antitumor activity of quinolines and quinazolines)

RN 286369-67-3 CAPLUS

CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-N'-(5-chloro-2-pyridinyl)-(9CI) (CA INDEX NAME)

PAGE 1-A

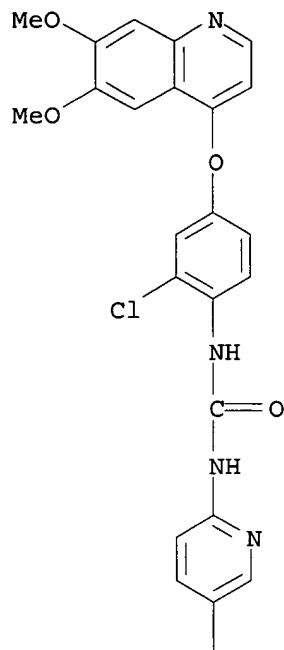


PAGE 2-A



RN 286369-69-5 CAPLUS
CN Urea, N-(5-bromo-2-pyridinyl)-N'-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

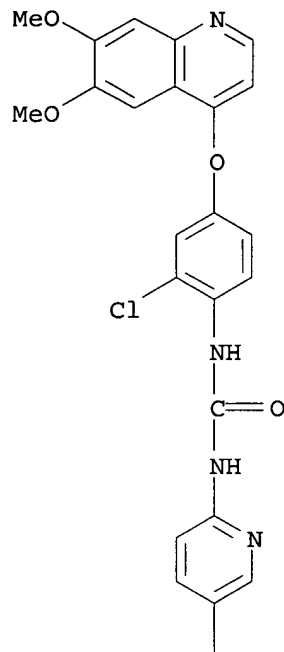


PAGE 2-A



RN 286369-73-1 CAPLUS
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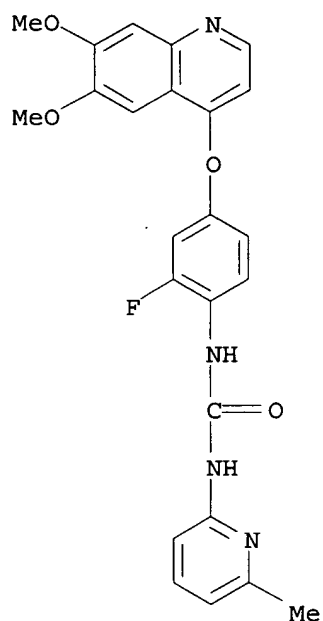
PAGE 1-A



PAGE 2-A

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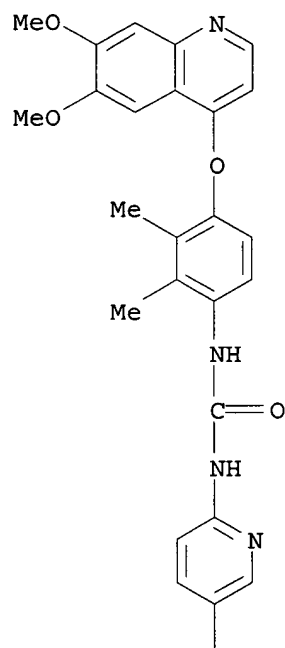
RN 286369-74-2 CAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2-fluorophenyl]-N'-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 286369-81-1 CAPLUS

CN Urea, N-(5-chloro-2-pyridinyl)-N'-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2,3-dimethylphenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

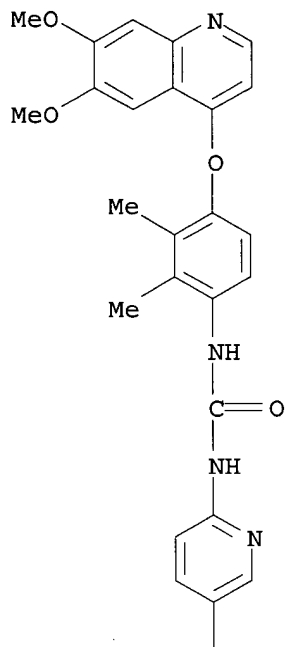


PAGE 2-A



RN 286369-82-2 CAPLUS
CN Urea, N-(5-bromo-2-pyridinyl)-N'-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2,3-dimethylphenyl]- (9CI) (CA INDEX NAME)

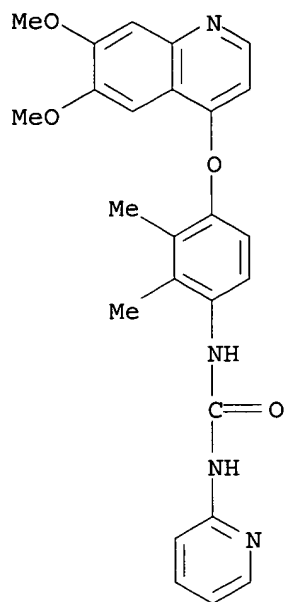
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PAGE 2-A

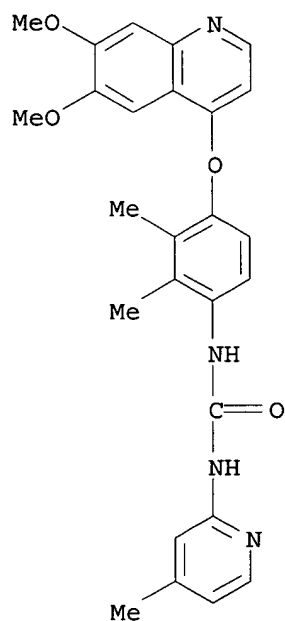


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CN Urea, N-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2,3-dimethylphenyl]-N'-2-pyridinyl- (9CI) (CA INDEX NAME)



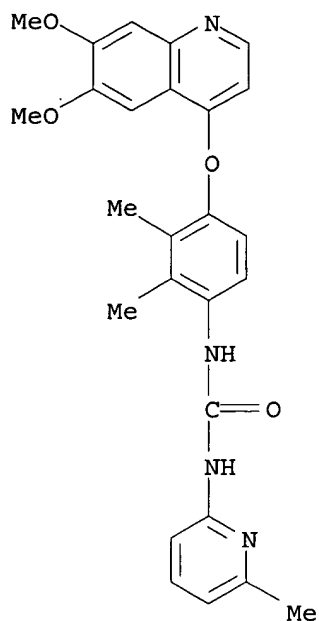
RN 286369-87-7 CAPLUS

CN Urea, N-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2,3-dimethylphenyl]-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 286369-88-8 CAPLUS

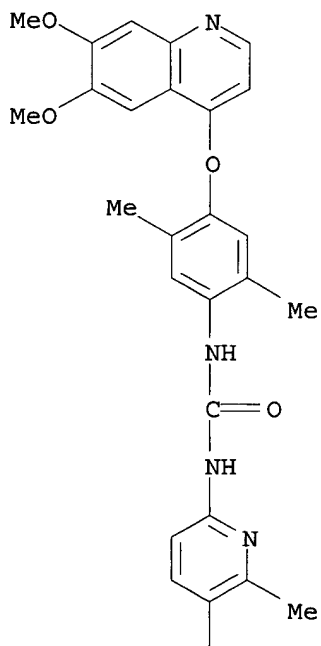
CN Urea, N-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2,3-dimethylphenyl]-N'-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 286369-97-9 CAPLUS

CN Urea, N-(5-bromo-6-methyl-2-pyridinyl)-N'-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2,5-dimethylphenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

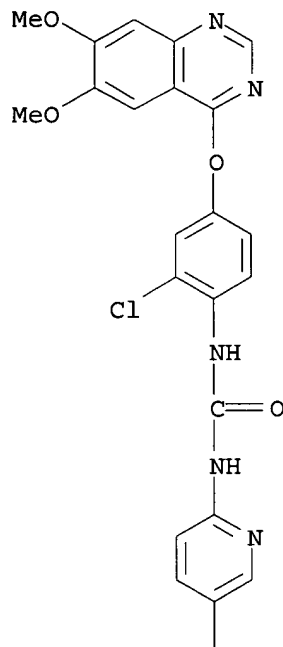


PAGE 2-A



RN 286370-38-5 CAPLUS
CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

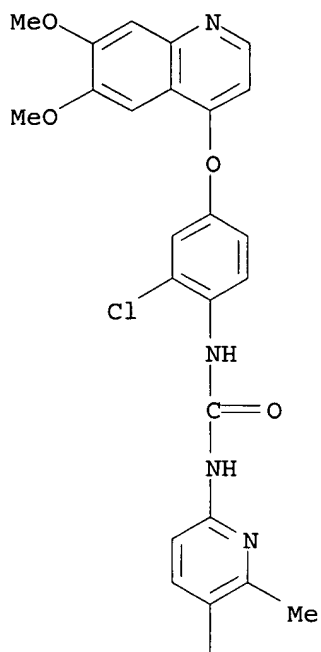


PAGE 2-A



IT 286369-66-2P 286369-80-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antitumor activity of quinolines and quinazolines)
RN 286369-66-2 CAPLUS
CN Urea, N-(5-bromo-6-methyl-2-pyridinyl)-N'-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyloxy]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

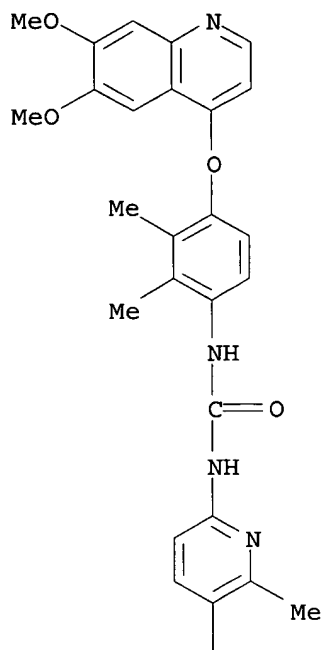


PAGE 2-A



RN 286369-80-0 CAPLUS
CN Urea, N- (5-bromo-6-methyl-2-pyridinyl) -N'- [4- [(6,7-dimethoxy-4-quinolinyl)oxy] -2,3-dimethylphenyl] - (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

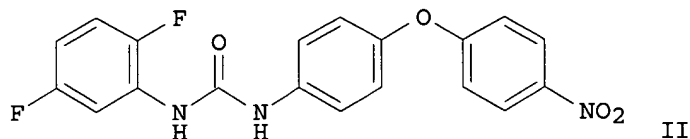
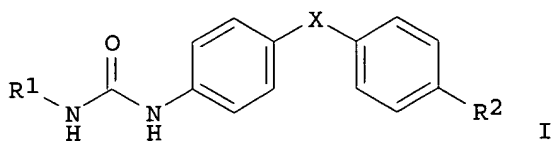


RE.CNT 6

RE

- (1) Kirin Brewery Company Limited; EP 860433 A CAPLUS
 - (2) Kirin Brewery Company Limited; WO 9717329 A1 1997 CAPLUS
 - (3) Kirin Brewery Company Limited; JP 11158149 A 1999 CAPLUS
 - (4) The Well Come Foundation Ltd; JP 10505600 A
 - (5) The Well Come Foundation Ltd; EP 782570 A CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2001 ACS
GI



AB The invention relates to 1,3-disubstituted ureas I [R1 = (un)substituted aryl; R2 = NO2, NH2; X = O, S], and a method of prepg. them by treating arom. amines with isocyanates. The isocyanates may be formed in situ, and the reaction carried out in a solvent such as toluene, at, e.g., 80.degree.C. If a nitro group is formed, it may be reduced with H2 in the presence of a Pd catalyst to give an amino group. The obtained 1,3-disubstituted ureas are inhibitors of the activity of the enzyme acyl co-enzyme A:cholesterol acyltransferase (ACAT), and may be used to inhibit cholesterol esterification and absorption in hypercholesterolemia. For instance, reaction of 4-(4'-nitrophenoxy)aniline with 2,5-difluorophenyl isocyanate gave 76% title compd. II. The latter gave 49% inhibition of rat liver ACAT at 2 .mu.M, and 58% inhibition of ACAT in rabbit intestinal mucosa, at the same concn., both in vitro.

AN 1999:421643 CAPLUS

DN 131:73441

TI 1,3-Disubstituted ureas useful as ACAT inhibitors, and method for their preparation

IN Oremus, Vladimir; Smahovsky, Vendelin; Faberova, Viera; Kakalik, Ivan; Schmidtova, Ludmila; Zemanek, Marian

PA Slovako- Farma, A.S., Slovakia

SO PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

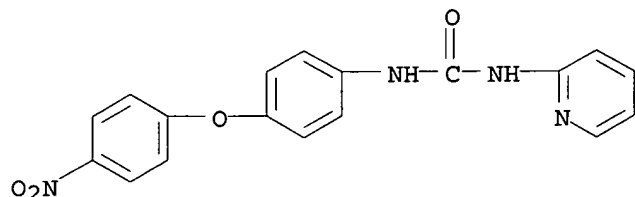
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	EP 1042278	A1	20001011	EP 1998-961715	19981216
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	JP 2001526259	T2	20011218	JP 2000-525374	19981216
PRAI	SK 1997-1751	A	19971219		
	WO 1998-SK19	W	19981216		
OS	MARPAT 131:73441				

IT 228544-41-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1,3-disubstituted ureas as ACAT inhibitors)

RN 228544-41-0 CAPLUS

CN Urea, N-[4-(4-nitrophenoxy)phenyl]-N'-2-pyridinyl- (9CI) (CA INDEX NAME)



RE.CNT 2

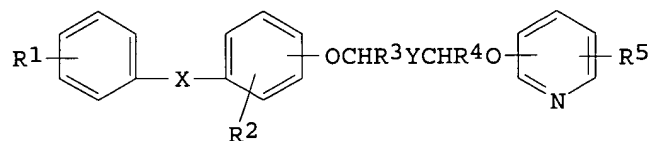
RE

(1) Becker, H; US 3284433 A 1966 CAPLUS

(2) Nippon Paper Industries; EP 0709225 A 1996 CAPLUS

L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2001 ACS

GI



I

AB The title compds. I (R1 = H, halogen, alkyl, alkoxy, or haloalkyl; R2 = H, halogen, alkyl, alkenyl, haloalkyl, alkoxy, alkylthio, haloalkoxy, haloalkylthio; R3, R4 = H, alkyl, haloalkyl, alkoxyalkyl, alkenoxyalkyl, alkenyl, alkynyl, or together form a direct bond; R5 = H, halogen, alkyl, haloalkyl, alkoxy, NH2, alkyl, alkylamino, dialkylamino, or acylamino), as well as their salts, are prepd. for use as insecticides, esp. against fleas. Thus, Ph 4-[2-[2-(2-pyridyloxy)ethoxy]ethoxy]phenyl ether (II) was prepd. by treating 2-[2-(4-phenoxyphenoxy)ethoxy]ethanol with 2-chloropyridine. II showed 100% activity against fleas both in vivo and in vitro tests.

AN 1990:458954 CAPLUS

DN 113:58954

TI Preparation of substituted pyridines as insecticides

IN Alig, Bernd; Stendel, Wilhelm; Londershausen, Michael

PA Bayer A.-G., Fed. Rep. Ger.

SO Eur. Pat. Appl., 22 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 356797	A2	19900307	EP 1989-114980	19890812
	EP 356797	A3	19910403		

R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL

DE 3828820	A1	19900322	DE 1988-3828820	19880825
JP 02117660	A2	19900502	JP 1989-215127	19890823
DK 8904186	A	19900226	DK 1989-4186	19890824
AU 8940252	A1	19900405	AU 1989-40252	19890824
AU 617513	B2	19911128		
BR 8904250	A	19900410	BR 1989-4250	19890824
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OS MARPAT 113:58954

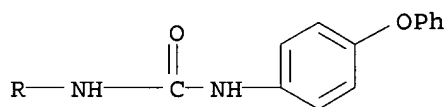
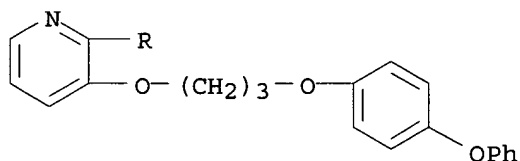
IT **128262-29-3P**

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as insecticide)

RN 128262-29-3 CAPLUS

CN Urea, N-[3-[3-(4-phenoxyphenoxy)propoxy]-2-pyridinyl]-N'-(4-phenoxyphenyl)-(9CI) (CA INDEX NAME)

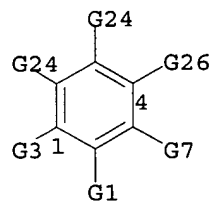


=> d 1-22 fqhit abs bib

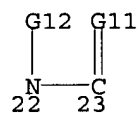
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L8 ANSWER 1 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 2

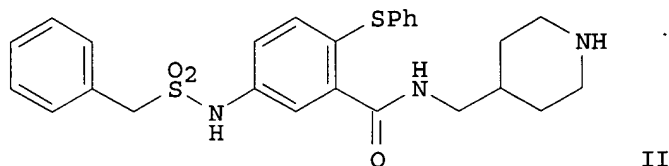
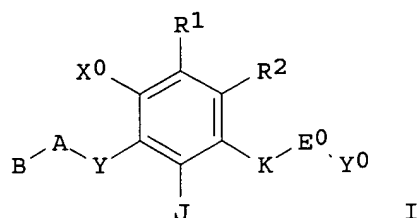


G8 = NH
G9 = 22-11 23-21



G11 = O
G18 = pyridyl (SO)
G27 = Ph (SO)
G29 = O
MPL: claim 21
NTE: or pharmaceutically acceptable salts
NTE: additional derivatization also claimed
NTE: substitution is restricted

GI



AB The title compds. [I; J = H, halo, OH, etc.; B = (un)substituted aryl, heteroaryl; A = a bond, CH₂SO₂, CH₂, (CH₂)₂, etc.; Y = NH, O, CO, etc.; X₀, R₁, R₂ = H, alkyl, halo, etc.; K = a bond, CH₂, etc.; E₀ = a bond, O, CONH, etc.; Y₀ = (4-piperidinyl)methyl, (amidino)benzyl, etc.] and their pharmaceutically acceptable salts, useful as inhibitors of serine proteases of the coagulation cascade, were prepd. E.g., a multi-step synthesis of II.HCl which showed IC₅₀ of > 30 .mu.M against factor VIIa, factor Xa and thrombin, and IC₅₀ of 0.3 .mu.M against trypsin, was given.

AN 135:257039 MARPAT

TI Preparation of polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade

IN South, Michael S.; Parlow, John J.

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 437 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001068605	A1	20010920	WO 2001-US7918	20010313
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2000-188943 20000313

US 2000-252159 20001120

RE.CNT 3

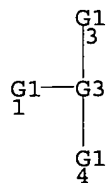
RE

(1) Illig, C; US 5741819 A 1998 CAPLUS

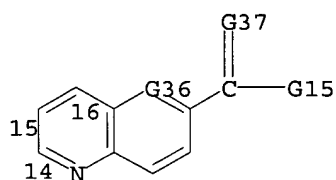
(2) Ljungberg; EUR J PHAR SCI 2001, V12(4), P441 CAPLUS

(3) Terumo Corp; JP 07233148 A 1995 CAPLUS

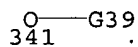
MSTR 1



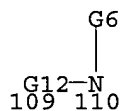
G3 = 14-4 15-1 16-3



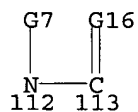
G4 = 341



G10 = 109-102 110-97



G12 = 112-102 113-110



G16 = O

G35 = p-C6H4

G39 = cyclopentyl

MPL: claim 1

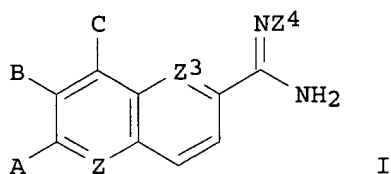
NTE: substitution is restricted

NTE: additional substitution also claimed

NTE: also incorporates broader disclosure

NTE: or pharmaceutically acceptable salts or prodrugs

GI



AB The title compds. [I; Z = N, CH, C(NR1R2); Z3 = CH, N; Z4 = H, OH; A, B, C = H, LR; L = a covalent bond, (CH2)m, NR1, etc.; R = aryl, arylalkoxy, alkyl, etc.; R1 = H, N-protecting group, alkyl, etc.; R2 = H, alkyl, alkenyl, etc.; m = 0-5], useful as inhibitors of urokinase, were prepd. E.g., a 2-step synthesis of I [Z = CH; Z3 = CH; Z4 = H; A = H; B, C = MeO] as mono(trifluoroacetate) salt which showed IC50 of 6.6 .mu.M against urokinase, was given.

AN 135:210841 MARPAT

TI Preparation of naphthalenecarboximidamides as urokinase inhibitors

IN Geyer, Andrew G.; McClellan, William J.; Rockway, Todd W.; Stewart, Kent D.; Weitzberg, Moshe; Wendt, Michael D.

PA Abbott Laboratories, USA

SO U.S., 91 pp., Cont.-in-part of U.S. 6,258,822.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6284796	B1	20010904	US 1999-236254	19990125
	US 6258822	B1	20010710	US 1998-129989	19980806
PRAI	US 1998-129989		19980806		
	US 1997-54982		19970806		

RE.CNT 23

RE

(2) Anon; EP 0540051 1993 CAPLUS

(3) Anon; EP 0568289 1993 CAPLUS

(5) Anon; WO 9616940 1996 CAPLUS

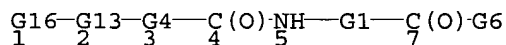
(6) Anon; AU 7730198 1999 CAPLUS

(7) Anon; WO 9905124 1999 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

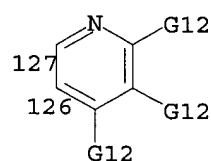
L8 ANSWER 3 OF 35 MARPAT COPYRIGHT 2001 ACS

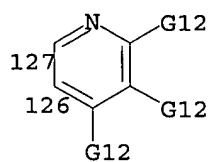
MSTR 1



G3 = O

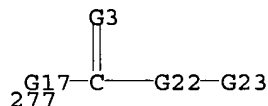
G13 = 127-1 126-3





G14 = OPh

G16 = 277



G17 = NH

G22 = NH

G23 = Ph (SO (1-) G14)

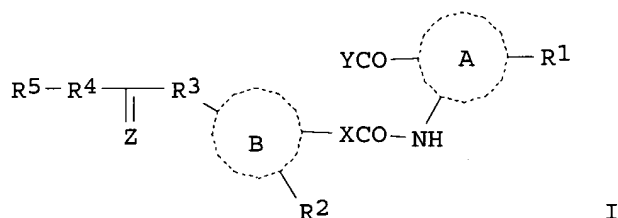
MPL: claim 1

NTE: additional ring formation also claimed

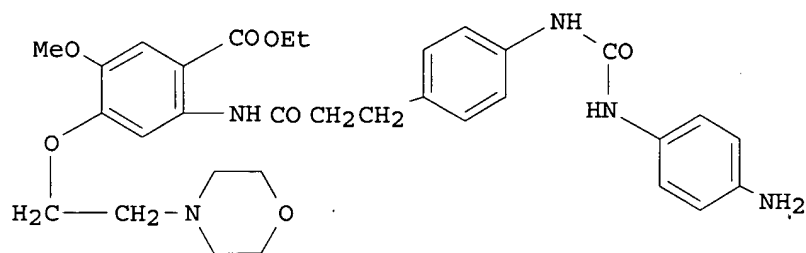
NTE: substitution is restricted

NTE: or pharmacologically acceptable salts

GI



I



II

AB Title compds. [I; wherein A and B are each an arom. ring such as benzene ring; COY and NHCOX are adjacent to each other and bonded to carbon atoms constituting A; X is alkylene, alkyleneoxy, or a single bond; Y is alkyl, alkoxy, hydroxyl, or optionally substituted amino; R1 is hydrogen, halogeno, hydroxyl, alkyl, or the like, with the proviso that when A is a

benzene ring, R1 is not hydrogen; R2 is hydrogen, halo, hydroxyl, alkyl; R3 and R4 are each optionally substituted imino, oxygen, or a single bond; R5 is alkyl, optionally substituted Ph, etc.; Z is oxygen or sulfur] and pharmaceutical compns. contg. the derivs. or salts as the active ingredient for prevention or treatment of diseases caused by abnormal propagation of vascular smooth muscle cells. Thus, the title compd. II was prepd. and tested.

AN 134:295625 MARPAT

TI Preparation of novel diarylamide derivatives and use thereof as remedies of abnormal propagation of vascular smooth muscle cells

IN Ogita, Haruhisa; Isobe, Yoshiaki; Takaku, Haruo

PA Japan Energy Corporation, Japan

SO PCT Int. Appl., 196 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001025190	A1	20010412	WO 2000-JP6667	20000927
	W: AU, CA, JP, NZ, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRAI	JP 1999-281271		19991001		
	JP 1999-290789		19991013		

RE.CNT 16

RE

- (1) Kissei Pharmaceutical Co Ltd; CN 1211182 A CAPLUS
- (3) Kissei Pharmaceutical Co Ltd; EP 894496 A1 CAPLUS
- (4) Kissei Pharmaceutical Co Ltd; AU 9668370 A CAPLUS
- (5) Kissei Pharmaceutical Co Ltd; BR 9707514 A CAPLUS
- (6) Kissei Pharmaceutical Co Ltd; AU 9716713 A CAPLUS

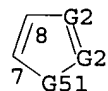
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

G4—G1—G22—G29—G31
1 2 3 98

G1 = 7-1 8-3



G2 = 14

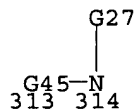
C—G3
14

G22 = O

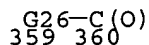
G26 = NH (SO)

G29 = phenylene (SO)

G40 = pyridyl (SO)
G41 = 313-98 314-286

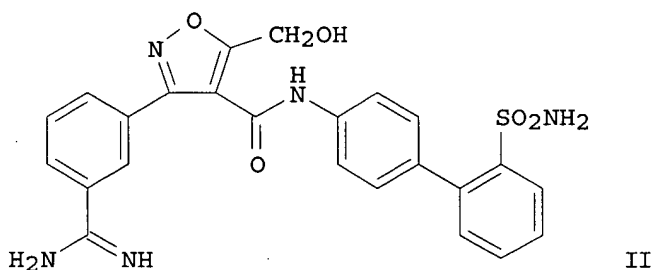
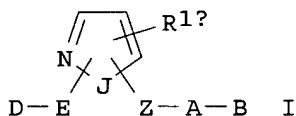


G45 = 359-98 360-314



G51 = O
MPL: claim 1
NTE: or pharmaceutically acceptable salts
NTE: additional ring formation also claimed
NTE: substitution is restricted
NTE: also incorporates broader disclosure
STE: or stereoisomers

GI



AB The title compds. [I; J = O, S; E = Ph substituted with one R; R = H, halo, alkyl, etc.; D = C(:NR₈)NR₇R₉, CR₈R₉NR₇R₈, provided that D is substituted meta on E; Z = CONH, provided that Z does not form a N-N bond with group A; R_{1a} = absent, (CH₂)_rR₁, O(CH₂)₂(CH₂)_tR₁, etc.; R₁ = H, alkyl, halo, etc.; A = (un)substituted carbocyclic residue, pyridyl; B = (un)substituted carbocyclic residue, pyridyl, etc.; r = 0-3; t = 0-1] and their salts, useful as inhibitors of factor Xa, were prepd. and formulated. E.g., a multi-step synthesis of the isoxazole II was given. A no. of compds. I were found to exhibit a K_i of .ltoreq. 10 .mu.M against factor Xa.

AN 134:163023 MARPAT

TI Preparation of phenyl-isoxazoles as factor Xa inhibitors
 IN Pruitt, James Russell; Fevig, John Matthew; Quan, Mimi Lifan; Pinto,
 Donald Joseph Phillip
 PA Dupont Pharmaceuticals Company, USA
 SO U.S., 90 pp., which
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6187797	B1	20010213	US 1997-996378	19971222
PRAI	US 1996-33843		19961223		
	US 1997-50975		19970620		

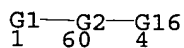
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RE

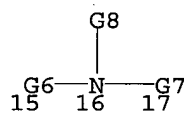
(1) Anon; EP 0513387 1992 CAPLUS
 (3) Anon; WO 9424095 1994 CAPLUS
 (4) Anon; WO 9514683 1995 CAPLUS
 (5) Anon; EP 0768305 1997 CAPLUS
 (6) Baker; US 5317103 1994 CAPLUS
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 35 MARPAT COPYRIGHT 2001 ACS

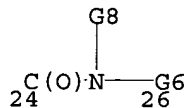
MSTR 1



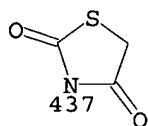
G1 = quinolinyl
 G3 = 15-1 17-3



G7 = 24-16 26-3



G14 = phenylene
 G21 = O
 G22 = 437



MPL: claim 1
 NTE: additional ring formation and substitution also claimed
 NTE: or pharmaceutically acceptable salts, N-oxides, hydrates or solvates

AB Ar1(CR1R2)aA(CR3R4)bAr2(CR5R6)cB(CR7R8)dEZ[Ar1, Ar2 = aryl, fused arylcycloalkenyl, fused arylcycloalkyl, fused arylheterocycloalkenyl, fused arylheterocyclyl, heteroaryl, fused heteroarylcycloalkenyl, fused heteroarylcycloalkyl, fused heteroarylheterocyclyl, etc.; A = O, S, SO, SO2, NR13, CO, NR14CO, CONR15, NR14CONR15, CR14:N, bond, etc.; B = O, S, NR19, bond, CO, NR20CO, CONR20; E = bond, CH2CH2; Z = R21O2C, R21OC, cycloimide, cyano, R21O2SHNCO, R21O2SHN, (R21)2NCO, R21O-substituted 2,4-thiazolidinedionyl, tetrazolyl; a, d = 0-6; b, c = 0-4; R1, R3, R5, R7 = H, halo, alkyl, CO2H, alkoxycarbonyl, aralkyl; R2, R4, R6, R8 = (CH2)qX; q = 0-3; R14, R15, R20 = H, alkyl, aralkyl, CO, alkoxycarbonyl; R14R15 = atoms to form a 5-6 membered azaheterocyclyl; R19, R21 = H, aryl, alkyl, cycloalkyl, aralkyl], were prepd. as agonists or antagonists of the PPAR receptor (no data). Thus, 3-(quinolin-2-ylmethoxy)propan-1-ol in DMPU/THF at 0.degree. was treated with NaH and then with Me 2-bromomethyl-6-methylbenzoate followed by stirring overnight at room temp. to give Me 2-methyl-6-[3-(quinolin-2-ylmethoxy)propoxymethyl]benzoate.

AN 133:335167 MARPAT

TI Preparation of diaryl carboxylic acids and derivatives as peroxisome proliferator-activated receptor ligands.

IN Jayyosi, Zaid; McGeehan, Gerard M.; Kelley, Michael F.; Labaudiniere, Richard F.; Zhang, Litao; Groneberg, Robert D.; McGarry, Daniel G.; Caulfield, Thomas J.; Minnich, Anne; Bobko, Mark

PA Aventis Pharmaceuticals Products Inc., USA

SO PCT Int. Appl., 167 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000064888	A1	20001102	WO 2000-US11833	20000428
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	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRAI US 1999-131455 19990428

RE.CNT 12

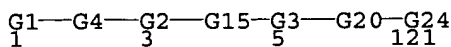
RE

- (1) Dr Reddy'S Research Foundation; WO 9908501 A 1999 CAPLUS
- (2) Dr Reddy'S Research Foundation; WO 9916758 A 1999 CAPLUS
- (3) Imperial Chemical Industries Plc; EP 0520723 A 1992 CAPLUS
- (4) Merck & Co Inc; WO 9728149 A 1997 CAPLUS
- (5) Merck & Co Inc; WO 9727847 A 1997 CAPLUS

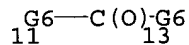
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

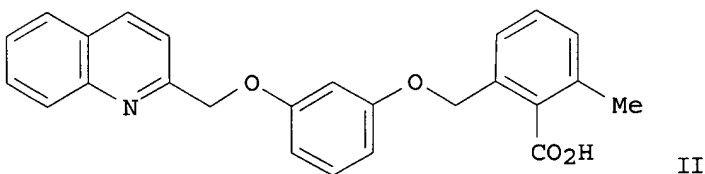
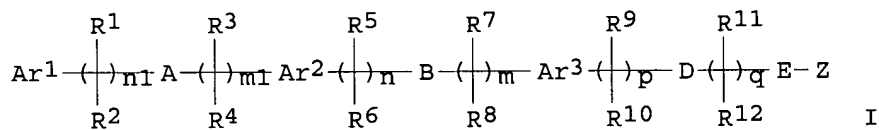


G1 = quinoliny1 (SO)
G2 = phenylene (SO)
G3 = o-C6H4 (SO)
G4 = 11-1 13-3



G6 = NH (SO)
G15 = O
MPL: claim 1
NTE: additional ring formation also claimed
NTE: or pharmaceutically acceptable salts, N-oxides, hydrates or solvates

GI



AB This invention is directed to triaryl acid derivs. I and their salts, N-oxides, hydrates, solvates, and pharmaceutical compns. [wherein: Ar1, Ar2, Ar3 = aryl, fused arylcycloalkenyl, fused arylcycloalkyl, fused arylheterocyclenyl, fused arylheterocyclyl, heteroaryl, fused heteroaryl cycloalkenyl, fused heteroaryl cycloalkyl, fused heteroaryl heterocyclenyl, or fused heteroaryl heterocyclyl; A = bond, O, S, SO, SO2, CO, (un)substituted NH, NHCO, CONH, NHCONH, CH:N, etc.; B = bond, O, S, SO, SO2, C.tplbond.C, CO, (un)substituted NH, NHCO, or CONH; D = bond, O, S, C.tplbond.C, CO, (un)substituted NH, NHCO, or CONH; E = bond, CH2CH2; Z = (un)substituted CO2H, CHO, cyclo-imide, cyano, sulfonylaminocarbonyl, sulfonylamino, carbamoyl, tetrazolyl, etc.; R1, R3, R5, R7, R9, R11 = H, halo, alkyl, CO2H, alkoxycarbonyl, aralkyl; R2, R4, R6, R8, R10, R12 = (CH2)0-3X (where X = H or various substituents); n1 = 0-4; m1 = 0-4; n = 0-4; m = 0-5; p = 0-4; q = 0-6; with numerous provisos]. The compds. are PPAR receptor ligands, useful as agonists or antagonists thereof (no data). For instance, 2,6-dimethylbenzoic acid underwent a sequence of: (1) Me esterification, (2) benzylic monobromination, (3) etherification with 3-(quinolin-2-ylmethoxy)phenol, and (4) alk. hydrolysis with NaOH in aq. EtOH, to give title compd. II.

AN 133:335164 MARPAT

TI Tri-aryl acid derivatives as PPAR receptor ligands

IN Jayyosi, Zaid; McGeehan, Gerard M.; Kelley, Michael F.; Labaudiniere, Richard F.; Zhang, Litao; Caulfield, Thomas J.; Minnich, Anne; Bobko, Mark; Morris, Robert; Groneberg, Robert D.; McGarry, Daniel G.

PA Aventis Pharmaceuticals Products Inc., USA

SO PCT Int. Appl., 257 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000064876	A1	20001102	WO 2000-US11490	20000428
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRAI US 1999-131454 19990428

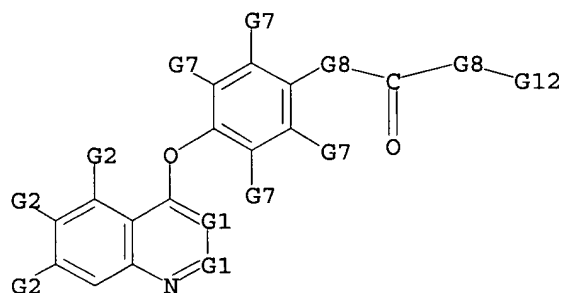
RE.CNT 13

RE

- (1) Ciba-Geigy Ag; EP 0643045 A 1995 CAPLUS
 - (2) Dr Reddy'S Research Foundation; WO 9908501 A 1999 CAPLUS
 - (3) Glaxo Group Ltd; WO 9731907 A 1997 CAPLUS
 - (4) Laboratorios Menarini S A; WO 9724331 A 1997 CAPLUS
 - (5) Leo Pharmaceutical Products Ltd; WO 8905294 A 1989 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1



G1 = CH

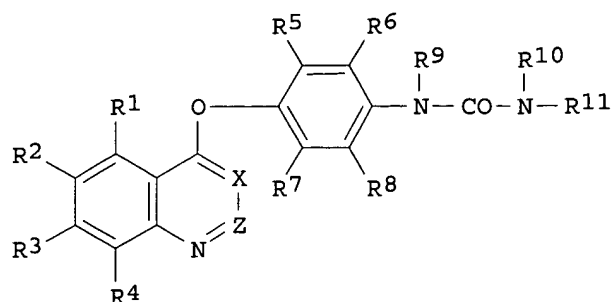
G8 = NH

G12 = pyridyl (SO (1-) G23)

DER: and pharmaceutically acceptable salts or solvates

MPL: claim 1

GI



I

AB Title compds. [I; X and Z represent each CH or N; R1-3 represent each H, optionally substituted alkoxy, etc.; R4 represents H; R5-8 represent each H, halogeno, alkyl, alkoxy, alkylthio, nitro or amino, provided that all of R5-8 do not represent H simultaneously; R9 and R10 represent each H, alkyl or alkylcarbonyl; and R11 represents alkyl, alkenyl, alkynyl or aralkyl], pharmaceutically acceptable salts and solvates, and medicinal compns. contg. the same are prepd. and tested having antitumor activity and causing no morphol. change in cells. Thus, the title compd. I (X = CH; Z = CH; R1, R4, R5, R7-R10 each an H; R11 = 3,5-F2C6H3) was prepd. and tested.

AN 133:135235 MARPAT

TI Preparation and anti-tumor, anti-atherosclerosis, anti-psoriasis, anti-diabetes, and anti-arthritis activities of quinolines and quinazolines

IN Kubo, Kazuo; Fujiwara, Yasunari; Isoe, Toshiyuki

PA Kirin Beer Kabushiki Kaisha, Japan

SO PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000043366	A1	20000727	WO 2000-JP255	20000120
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000007656	A	20011030	BR 2000-7656	20000120
	EP 1153920	A1	20011114	EP 2000-900841	20000120
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	NO 2001002617	A	20010914	NO 2001-2617	20010529
PRAI	JP 1999-14858		19990122		
	JP 1999-26691		19990203		
	JP 1999-142493		19990521		
	JP 1999-253624		19990907		
	WO 2000-JP255		20000120		

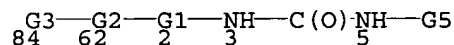
RE.CNT 6

RE

(1) Kirin Brewery Company Limited; EP 860433 A CAPLUS
(2) Kirin Brewery Company Limited; WO 9717329 A1 1997 CAPLUS
(3) Kirin Brewery Company Limited; JP 11158149 A 1999 CAPLUS
(4) The Well Come Foundation Ltd; JP 10505600 A
(5) The Well Come Foundation Ltd; EP 782570 A CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

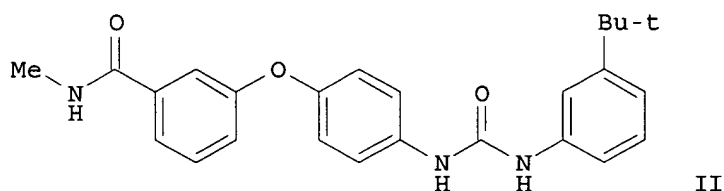
L8 ANSWER 8 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1



G1 = p-C6H4
G2 = O
G5 = pyridyl (SO)
G23 = phenylene (SO)
MPL: claim 1

GI



parent

AB This invention relates to the prepn. and use of (hetero)aryl ureas ANHCONHB [I; A = L(ML1)q; L = 5- or 6-membered (hetero)aryl, esp: Ph or pyridinyl; M = bridging group; L1 = (hetero)aryl with at least one (un)substituted sulfamoyl, carboxy, or carbamoyl substituent; q = 1-3; B = certain (un)substituted mono- to tricyclic aryl or heteroaryl groups] for the treatment of raf mediated diseases, such as cancer (no data). Approx. 100 invention compds. and numerous intermediates were prepd. For instance, 3-tert-butylaniline was coupled with bis(trichloromethyl)carbonate to form the isocyanate, followed by addn. of 4-(3-N-methylcarbamoylphenoxy)aniline (prepn. given) to afford the urea II.

AN 133:120157 MARPAT

TI Preparation of .omega.-carboxy(hetero)aryl substituted diphenyl ureas as raf kinase inhibitors

IN Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-Katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.

PA Bayer Corporation, USA

SO PCT Int. Appl., 120 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.

KIND DATE

APPLICATION NO. DATE

PI	WO 2000042012	A1	20000720	WO 2000-US648	20000112	
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	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1140840	A1	20011010	EP 2000-903239	20000112	
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 2001011135	A1	20010802	US 2001-773659	20010202	
	US 2001011136	A1	20010802	US 2001-773675	20010202	
	US 2001016659	A1	20010823	US 2001-773672	20010202	
	US 2001027202	A1	20011004	US 2001-773658	20010202	
	US 2001034447	A1	20011025	US 2001-773604	20010202	
	NO 2001003463	A	20010912	NO 2001-3463	20010712	
PRAI	US 1999-115877	19990113				
	US 1999-257266	19990225				
	US 1999-425228	19991022				
	WO 2000-US648	20000112				

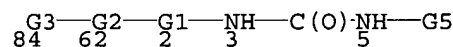
RE.CNT 12

RE

- (1) Bayer Corporation; WO 9852558 A1 1998 CAPLUS
 - (2) Bayer Corporation; WO 9852559 A1 1998 CAPLUS
 - (3) Bonwick; Journal of Immunological Methods 1996, V196(2), P163 CAPLUS
 - (4) Chugai Pharmaceutical Co Ltd; JP 57185219 1982 CAPLUS
 - (5) Dearden; Nato ASI Srv 1996, V23, P93 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

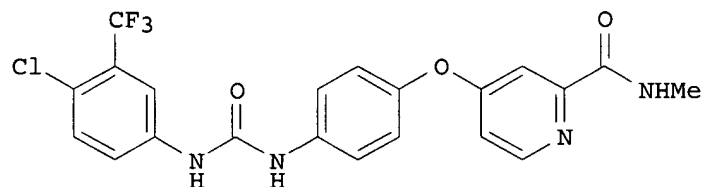
L8 ANSWER 9 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1



G1 = p-C6H4
G2 = O
G5 = pyridyl (SO)
G23 = phenylene (SO)
MPL: claim 1

GI



II

AB The title compds. ADB [I; D = NHCONH; A = substituted moiety of up to 40 carbon atoms of the formula L(ML1)q (wherein L = 5-6 membered cyclic structure; L1 = substituted cyclic moiety having at least 5 members; M = bridging group having at least one atom; q = 1-3; each of L and L1 contains 0-4 members of the group consisting of N, O and S); B = (un)substituted up to tricyclic aryl or heteroaryl moiety of up to 30 carbon atoms with at least one 6-member cyclic structure bound directly to D contg. 0-4 members of the group consisting of N, O and S], useful in treating p38 mediated diseases, were prepd. E.g., a multi-step synthesis of the urea II which showed IC50 of 1-10 .mu.M against p38, was given. Compds. I are effective at 0.01-200 mg/kg/day (oral administration).

AN 133:120155 MARPAT

TI Preparation of .omega.-carboxy aryl substituted diphenyl ureas as p38 kinase inhibitors

IN Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-Katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.

PA Bayer Corporation, USA

SO PCT Int. Appl., 148 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

parent

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000041698	A1	20000720	WO 2000-US768	20000113
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1158985	A1	20011205	EP 2000-905597	20000113
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	US 1999-115878		19990113		
	US 1999-257265		19990225		
	US 1999-425229		19991022		
	WO 2000-US768		20000113		

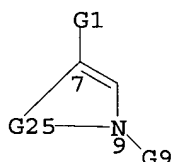
RE.CNT 1

RE

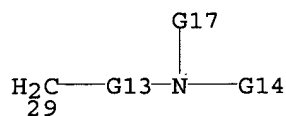
(1) Smithkline Beecham Corporation; WO 9533458 A1 1995 CAPLUS

L8 ANSWER 10 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1



G12 = 29



G13 = C(O)

G14 = pyridyl

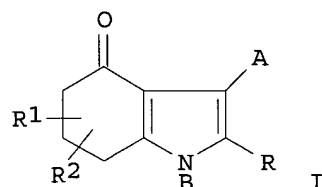
G17 = Ph (SO (1-3) G18)

G18 = OMe

DER: or pharmaceutically acceptable salts or N-oxides

MPL: claim 1

GI



AB Indolones I [A = alkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, (un)substituted aryl; B = (un)substituted Ph, heterocyclic; R = H; R1, R2 = H, alkyl; CR1R2 = cycloalkyl] were prepd. for use as GABAA .alpha.5 receptor ligands in medicaments for enhancing cognition (no data). Thus, EtCOCH2CO2Et was converted to the oxime and treated with 5,5-dimethyl-,13-cyclohexanedione to give I [A = Et, B = H, R = CO2Et, R1, R2 = 6-Me] which was hydrolyzed to the acid, decarboxylated, and treated with 2-fluoropyridine to give I [A = Et, B = 2-pyridyl, R = H, R1, R2 = 6-Me].

AN 132:12259 MARPAT

TI Tetrahydroindolone derivatives as GABAA .alpha.5 receptor ligands for enhancing cognition

IN Broughton, Howard Barff; Bryant, Helen Jane; Chambers, Mark Stuart; Curtis, Neil Roy

PA Merck Sharp & Dohme Limited, UK

SO PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 9962899	A1	19991209	WO 1999-GB1799	19990602
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,				

CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 9950473 A1 19991220 AU 1999-50473 19990602
PRAI GB 1998-12038 19980604
WO 1999-GB1799 19990602

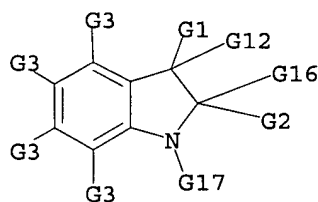
RE.CNT 4

RE

- (1) McDonald, B; Journal of the Chemical Society Perkin Transactions 1 1975, V15, P1446
- (2) Merck Sharp & Dohme Ltd; WO 9818792 A 1998 CAPLUS
- (3) Neurogen Corporation; WO 9734870 A 1997 CAPLUS
- (4) Parke Davis & Company; GB 1150397 A 1969 CAPLUS

L8 ANSWER 11 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

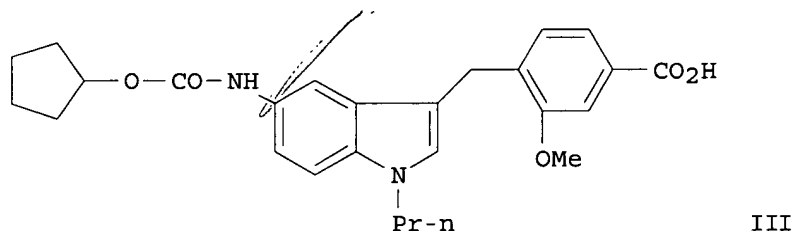
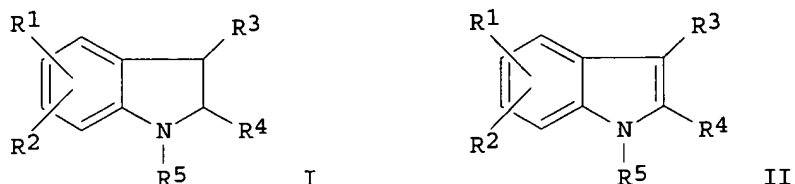


G3 = 182

$\text{G6}-\text{C}(\text{O})-\text{G10}-\text{G9}$,
182

G4 = O
G5 = Ph
G6 = NH
G9 = pyridyl
G10 = NH
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: additional substitution also claimed

GI



AB Indole derivs. (I) and (II) [where R1 = H, halogen, CF3, C1-10 alkyl, S-C1-10 alkyl, C1-10 alkoxy, CN, NO2, NH2, Ph, OPh, SPh, CH2Ph, OCH2Ph, SCH2Ph, or (un)substituted amido, carbamido, sulfonyl, etc.; R2 = H, halogen, CF3, OH, C1-10 alkyl, C1-10 alkoxy, CHO, CN, NO2, (un)substituted amino, SO2-C1-6 alkyl; R3 = (un)substituted carboxylic acid, OPO3H2, SO3H, etc.; R4 = H, CF3, C1-6 alkyl, C1-6 alkoxy, (C1-6 alkyl)cycloalkyl, CHO, halogen, etc.; R5 = C1-6 alkyl, C1-6 alkoxy, (C1-6 alkyl)cycloalkyl, etc.] and pharmaceutically acceptable salts thereof, were prepd. by several methods. Thus, 5-nitroindole was C3-alkylated with Me 4-(bromomethyl)-3-methoxybenzoate in dioxane, N-alkylated with 1-iodopropane in a soln. of THF and NaH, and converted to the amine by hydrogenation over Pt/C. The amine was converted to the carbamate by addn. of cyclopentyl chloroformate in CH2Cl2 and 4-methylmorpholine and the resultant ester hydrolyzed to yield 4-[(5-[(cyclopentyloxy)carbonyl]amino)-1-propyl-1H-indol-3-yl)methyl]-3-methoxybenzoic acid (III). The title compds. are useful as phospholipase enzyme inhibitors, esp. cytosolic phospholipase A2 (cPLA2), for treatment of inflammatory conditions, particularly where inhibition of prodn. of prostaglandins, leukotrienes, and PAF are all desired. Over one hundred compds. of the invention were tested for cPLA2 inhibiting activity in the Coumarine assay and rat carrageenan-induced footpad edema test. Compds. exhibited 7% to 98% inhibition at concns. of 0.125 .mu.M to 400 .mu.M in the Coumarine assay and -7.16% to 34.52% inhibition at concns. of 2 .mu.M to 20 .mu.M in the footpad edema test.

AN 131:199619 MARPAT

TI Preparation of indole derivatives as phospholipase enzyme inhibitors

IN Seehra, Jasbir S.; Mckew, John C.; Lovering, Frank; Bemis, Jean E.; Xiang, Yibin; Chen, Lihren; Knopf, John L.

PA Genetics Institute, Inc., USA

SO PCT Int. Appl., 182 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9943654	A2	19990902	WO 1999-US3898	19990224
	WO 9943654	A3	19991028		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,

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 KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
 MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
 TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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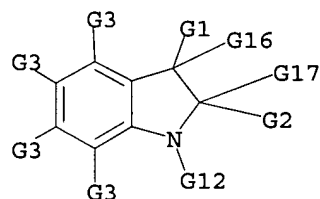
AU 9927825 A1 19990915 AU 1999-27825 19990224
 BR 9908275 A 20001024 BR 1999-8275 19990224
 EP 1062205 A2 20001227 EP 1999-908378 19990224

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
 NO 2000004219 A 20001023 NO 2000-4219 20000823

PRAI US 1998-30592 19980225
 WO 1999-US3898 19990224

L8 ANSWER 12 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

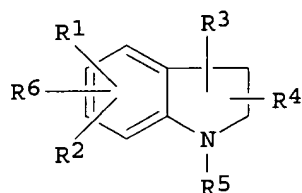


G3 = 183

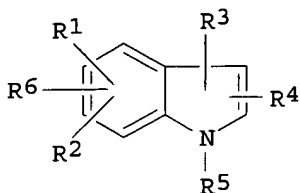
$\text{G6}-\text{C}(\text{O})-\text{G10}-\text{G9}$
 183

G4 = O
 G5 = Ph
 G6 = NH
 G9 = pyridyl (SO)
 G10 = NH
 DER: and pharmaceutically acceptable salts
 MPL: claim 1
 NTE: substitution is restricted

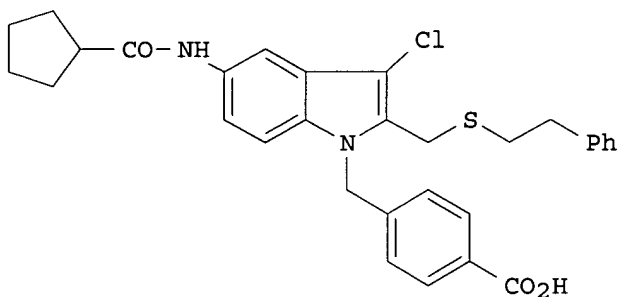
GI



I



II



III

AB Indole derivs. (I) and (II) [where R1 and R6 = H, halogen, CF₃, OH, C1-10 alkyl, S-C1-10 alkyl, C1-10 alkoxy, CN, NO₂, Ph, OPh, SPh, CH₂Ph, OCH₂Ph, SCH₂Ph, or (un)substituted amino, amido, carbamido, sulfonyl, etc.; R2 = H, halogen, CF₃, OH, C1-10 alkyl, C1-10 alkoxy, CHO, CN, NO₂, (un)substituted amino, SO₂-C1-6 alkyl; R3 = H, CF₃, C1-6 alkyl, C1-6 alkoxy, (C1-6 alkyl)cycloalkyl, etc.; R4 = C1-6 alkyl, C1-6 alkoxy, alkylcycloalkyl, acyl, etc.; R5 = (un)substituted carboxylic acid, OPO₃H₂, SO₃H, etc.] and pharmaceutically acceptable salts thereof, were prep'd. by several methods. Thus, Et 5-nitroindole-2-carboxylate was C3-chlorinated in DMF. The alc. was formed by redn. of the ester in a two-step process and was then TBDMS-protected. The TBDMS-protected alc. was N-alkylated with Me 4-(bromomethyl)benzoate, the nitro group reduced to the amine over Pt/C, and the compd. reacted with cyclopentylcarbonyl chloride to form the amide. The amide was treated with Ph₃PBr₂ in CH₂Cl₂ to convert the protected alc. to the bromide and then reacted with phenethyl mercaptan in the presence of Cs₂CO₃ followed by NaOH to yield 4-({3-chloro-5-[(cyclopentylcarbonyl)amino]-2-[(phenethylsulfanyl)methyl]-1H-indol-1-yl)methyl)benzoic acid (III). The title compds. are useful as phospholipase enzyme inhibitors, esp. cytosolic phospholipase A₂ (cPLA₂), for treatment of inflammatory conditions, particularly where inhibition of prodn. of prostaglandins, leukotrienes, and PAF are all desired (no data).

AN 131:199618 MARPAT

TI Preparation of indole derivatives as phospholipase enzyme inhibitors

IN Seehra, Jasbir S.; Kaila, Neelu; McKew, John C.; Lovering, Frank; Bemis, Jean E.; Xiang, Yibin

PA Genetics Institute, Inc., USA

SO PCT Int. Appl., 128 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9943651	A2	19990902	WO 1999-US3899	19990224
	WO 9943651	A3	19991216		

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 KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
 MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
 TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
 CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9927826 A1 19990915 AU 1999-27826 19990224
 BR 9908280 A 20001031 BR 1999-8280 19990224
 EP 1056719 A2 20001206 EP 1999-908379 19990224

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
 NO 2000004220 A 20001005 NO 2000-4220 20000823

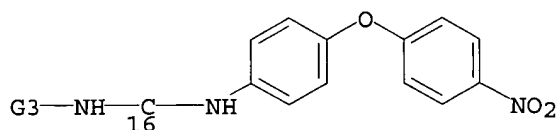
PRAI US 1998-30062 19980225
 WO 1999-US3899 19990224

L8 ANSWER 13 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

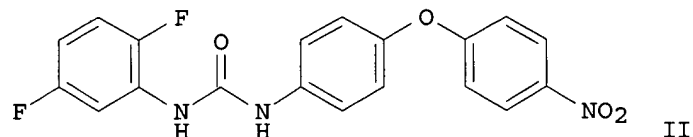
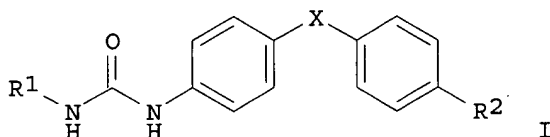
G1=O

G1 = 16



G3 = pyridyl
 MPL: claim 1

GI



AB The invention relates to 1,3-disubstituted ureas I [R1 = (un)substituted aryl; R2 = NO2, NH2; X = O, S], and a method of prepg. them by treating arom. amines with isocyanates. The isocyanates may be formed in situ, and the reaction carried out in a solvent such as toluene, at, e.g., 80.degree.C. If a nitro group is formed, it may be reduced with H2 in the

presence of a Pd catalyst to give an amino group. The obtained 1,3-disubstituted ureas are inhibitors of the activity of the enzyme acyl co-enzyme A:cholesterol acyltransferase (ACAT), and may be used to inhibit cholesterol esterification and absorption in hypercholesterolemia. For instance, reaction of 4-(4'-nitrophenoxy)aniline with 2,5-difluorophenyl isocyanate gave 76% title compd. II. The latter gave 49% inhibition of rat liver ACAT at 2 .mu.M, and 58% inhibition of ACAT in rabbit intestinal mucosa, at the same concn., both in vitro.

AN 131:73441 MARPAT
 TI 1,3-Disubstituted ureas useful as ACAT inhibitors, and method for their preparation
 IN Oremus, Vladimir; Smahovsky, Vendelin; Faberova, Viera; Kakalik, Ivan; Schmidtova, Ludmila; Zemanek, Marian
 PA Slovako- Farma, A.S., Slovakia
 SO PCT Int. Appl., 33 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

checked

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	AU 9916976	A1	19990712	AU 1999-16976	19981216
	EP 1042278	A1	20001011	EP 1998-961715	19981216
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	JP 2001526259	T2	20011218	JP 2000-525374	19981216
PRAI	SK 1997-1751		19971219		
	WO 1998-SK19		19981216		

RE.CNT 2

RE

- (1) Becker, H; US 3284433 A 1966 CAPLUS
- (2) Nippon Paper Industries; EP 0709225 A 1996 CAPLUS

L8 ANSWER 14 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

G2—NH—C(O)—NH—G1

G1 = pyridyl (SO)
 G2 = Ph (SO G21)
 G21 = 206

O—G23
 206

G23 = furyl

DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: additional substitution and ring formation also claimed
NTE: substitution is restricted

AB A method of treating a p-38 mediated disease other than cancer comprises administration of BNHCONHA [A = (substituted) Ph, pyridyl, 2-thienyl; B = (substituted) aryl, heteroaryl contg. 6-membered arom. structure contg. 0-4 N, O, or S atoms]. Thus, 5-tert-butyl-2-(3-tetrahydrofuranyloxy)aniline (prepn. given) and p-tolyl isocyanate were stirred 8 h in PhMe to give 75% N-(5-tert-butyl-2-(3-tetrahydrofuranyloxy)phenyl)-N'-(4-methylphenyl)urea. Title compds. inhibited p38 kinase with IC50 = 1-10 .mu.M.

AN 131:58659 MARPAT

TI Preparation of diaryl ureas as inhibitors of p38 kinase.

IN Miller, Scott; Osterhout, Martin; Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Gunn, David; Hatoum-Mokdad, Holia; Rodriguez, Mareli; Sibley, Robert; Wang, Ming

PA Bayer Corporation, USA

SO PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932463	A1	19990701	WO 1998-US27265	19981222
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	AU 9919399	A1	19990712	AU 1999-19399	19981222
	EP 1042305	A1	20001011	EP 1998-964221	19981222
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	JP 2001526276	T2	20011218	JP 2000-525400	19981222
PRAI	US 1997-995749		19971222		
	WO 1998-US27265		19981222		

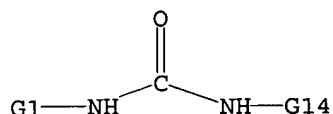
RE.CNT 5

RE

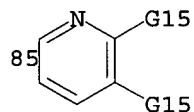
- (1) Frick; US 3230141 1966
- (2) Geigy, J; GB 0828231 A 1960 CAPLUS
- (3) Kabbe; US 4405644 A 1983 CAPLUS
- (4) Martin; US 3151023 A 1964
- (5) Martin; US 3200035 A 1965

L8 ANSWER 15 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

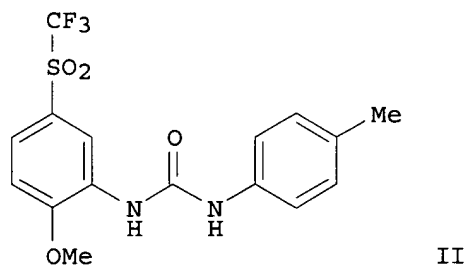


G5 = phenylene (SO (-3) G8)
 G6 = O
 G7 = Ph (SO (1-) G9)
 G14 = 85



DER: and pharmaceutically acceptable salts
 MPL: claim 1
 NTE: substitution is restricted
 NTE: also incorporates claim 15

GI



AB The invention relates to the use of a group of aryl ureas ANHCONHB [I; A = certain (un)substituted Ph, pyridinyl, or thien-2-yl groups; B = certain (un)substituted mono- to tricyclic aryl or heteroaryl groups] in treating raf-mediated diseases, and pharmaceutical compns. for use in such therapy. A subset of I are novel and are claimed per se. Approx. 160 invention compds. and numerous intermediates were prepd. For instance, reaction of tolyl isocyanate with 2-methoxy-5-(trifluoromethanesulfonyl)aniline in EtOAc gave title compd. II. In an in vitro raf kinase assay, all compds. displayed IC₅₀ values between 1 nM and 10 .mu.M.

AN 131:58658 MARPAT

TI Inhibition of raf kinase using symmetrical and unsymmetrical substituted diphenyl ureas

IN Miller, Scott; Osterhout, Martin; Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Gunn, David; Rodriguez, Mareli; Wang, Ming

PA Bayer Corporation, USA

SO PCT Int. Appl., 89 pp.
 CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.

KIND DATE

APPLICATION NO. DATE

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PI  WO 9932436      A1    19990701      WO 1998-US26081  19981222
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      JP 2001526258    T2    20011218      JP 2000-525373    19981222
      NO 2000003230    A     20000821      NO 2000-3230      20000621
PRAI US 1997-996344  19971222
      WO 1998-US26081  19981222
RE.CNT  3
RE
(1) Dixon; US 5470882 A 1995 CAPLUS
(2) Seto; US 5429918 A 1995 CAPLUS
(3) Smithkline Beecham Corporation; WO 96/25157 A1 1996 CAPLUS

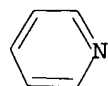
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L8 ANSWER 16 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

G4—G1—G22—G29—G31
 1 2 3 98

G1 = 603-1 604-3



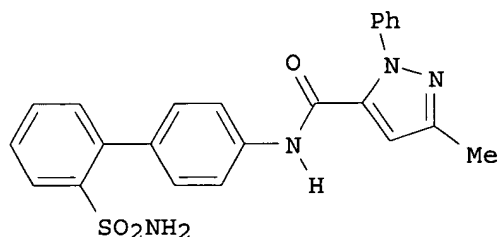
603604

G22 = 106-2 108-98

G26—C(O)—G26
 106 108

G26 = NH (SO)
 G29 = phenylene (SO)
 G40 = Ph (SO)
 G41 = O
 DER: or pharmaceutically acceptable salts
 MPL: claim 1
 NTE: additional ring formation also claimed
 NTE: substitution is restricted
 NTE: additional substitution also claimed
 STE: or stereoisomers

GI



II

AB EZ1M [I; E = halo, OH, alkyl, alkoxy, etc.; M = Z2ZAB; A = (un)substituted carbocyclylene, -heterocyclylene; B = H, Y, XY; X = alkylene, CO, O, (un)substituted NH, etc.; Y = amino(alkyl), substituted carbocyclyl, -heterocyclyl, etc.; Z = bond, (heteroatom- or functional group-interrupted) alkylene, etc.; Z1 = (un)substituted Ph, Z2 = N-contg. heteroarylene, etc.] were prepd. Thus, MeCOCH2C(:NOMe)CO2Et was cyclocondensed with PhNHNH2 and the product amidated by 4-(H2N)C6H4C6H4(SO2NHCMe3)-2 to give, after deprotection, title compd. II. Data for biol. activity of I were given.

AN 130:81510 MARPAT

TI Preparation of phenylpyrazolecarboxamides as coagulation factor Xa inhibitors

IN Galemme, Robert Anthony, Jr.; Dominguez, Celia; Fevig, John Matthew; Han, Qi; Lam, Patrick Yuk-sun; Pinto, Donald Joseph Philip; Pruitt, James Russell; Quan, Mimi Lifan

PA The Du Pont Merck Pharmaceutical Company, USA

SO PCT Int. Appl., 259 pp.

CODEN: PIXXD2

DT Patent

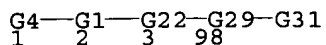
LA English

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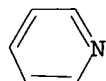
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	AU 9881503	A1	19990104	AU 1998-81503	19980618
	US 5998424	A	19991207	US 1998-99752	19980618
	EP 991625	A2	20000412	EP 1998-931355	19980618
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	BR 9810151	A	20000808	BR 1998-10151	19980618
	LV 12516	B	20010320	LV 1999-177	19991216
PRAI	NO 9906316	A	19991217	NO 1999-6316	19991217
	LT 4702	B	20000925	LT 1999-146	19991217
	US 1997-878885		19970619		
	US 1998-76691		19980227		
	US 1997-50219		19970619		
	WO 1998-US12681		19980618		

L8 ANSWER 17 OF 35 MARPAT COPYRIGHT 2001 ACS

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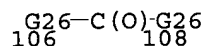


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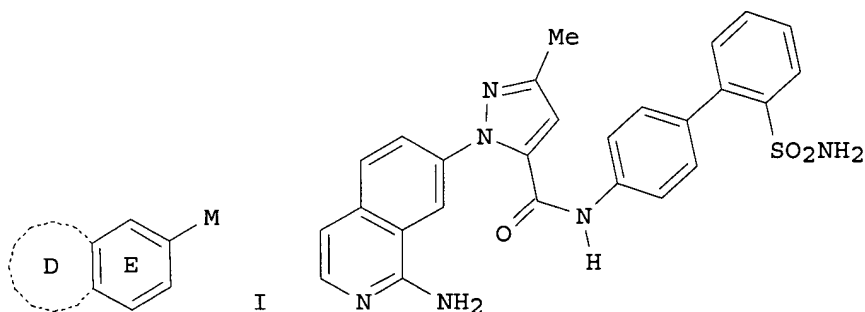
603604

G22 = 106-2 108-98



G26 = NH (SO)
 G29 = phenylene (SO)
 G40 = Ph (SO)
 G41 = O
 DER: or pharmaceutically acceptable salts
 MPL: claim 1
 NTE: additional ring formation also claimed
 NTE: substitution is restricted
 NTE: additional substitution also claimed
 STE: or stereoisomers

GI



AB The title compds. [I; rings D-E represent guanidine mimics; ring D = CH₂N:CH, CH₂CH₂N:CH, a 5-6 membered arom. system contg. 0-2 heteroatoms selected from the group N, O, and S; ring D is substituted with 0-2 R (substituents), provided that when ring D is unsubstituted, it contains at least one heteroatom; ring E contains 0-2 N atom and is substituted by 0-1 R; R = halo, OH, C1-3 alkoxy, etc.; M = (un)substituted pyrazole, imidazole, tetrazole, etc.], inhibitors of factor Xa which are useful in treating and preventing a thromboembolic disorder, were prepd. and formulated. Thus, a multi-step synthesis of the title compd. II, starting with 7-aminoisoquinoline, was described. A no. of compds. I were found to exhibit a K_i of .ltoreq. 15 .mu.M against factor Xa.

AN 130:66494 MARPAT
 TI Preparation of novel guanidine mimics as factor Xa inhibitors
 IN Lam, Patrick Y.; Clark, Charles G.; Dominguez, Celia; Fevig, John Matthew;
 Han, Qi; Li, Renhua; Pinto, Donald Joseph-Phillip; Pruitt, James Russell;
 Quan, Mimi Lifan
 PA The Du Pont Merck Pharmaceutical Company, USA
 SO PCT Int. Appl., 268 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

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	AU 9879768	A1	19990104	AU 1998-79768	19980618
	EP 991638	A1	20000412	EP 1998-930361	19980618
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	BR 9810137	A	20000808	BR 1998-10137	19980618
	NO 9905965	A	19991203	NO 1999-5965	19991203
	LV 12496	B	20010120	LV 1999-178	19991216
	LT 4705	B	20000925	LT 1999-147	19991217
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	WO 1998-US12680		19980618		

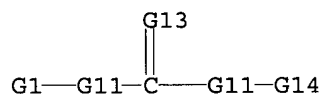
RE.CNT 5

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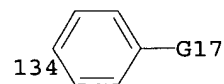
- (1) 3-Dimensional Pharmaceuticals Inc; WO 9639380 A 1996 CAPLUS
- (2) Boehringer Mannheim GMBH; DE 19530996 A 1997 CAPLUS
- (3) Du Pont Merck Pharma; WO 9723212 A 1997 CAPLUS
- (4) Fujisawa Pharmaceutical Co; EP 0554829 A 1993 CAPLUS
- (5) Rhone Poulenc Rorer Pharmaceuticals Inc; WO 9640679 A 1996 CAPLUS

L8 ANSWER 18 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1



G1 = 134



G11 = NH
 G13 = O
 G14 = pyridyl (SO (1-) G2)
 G17 = OPh
 MPL: claim 1

AB The title compds. WX1C(:Y)X2Z [W = (un)substituted satd., partially satd. or arom. monocyclic or bicyclic ring system optionally comprising up to 4 heteroatoms; Y = O, etc.; X1, X2 = O, S, etc.; Z = cycloalkyl, etc.] are prepd. Compds. of this invention are inhibitors of p38, a mammalian protein kinase involved in cell proliferation, cell death and response to extracellular stimuli. In in vitro assays for inhibition of phosphorylation of EGF receptor peptide, compds. of this invention showed IC50 values of 0.14 .mu.M to 19 .mu.M.

AN 130:66491 MARPAT

TI Preparation of urea derivatives as inhibitors of p38

IN Salituro, Francesco Gerald; Bemis, Guy W.; Green, Jeremy; Kofron, James L.

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PRAI	US 1997-884160		19970627		
	WO 1998-US13496		19980629		

RE.CNT 5

RE

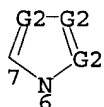
- (1) Adams, J; WO 9531451 A 1995 CAPLUS
- (2) Sugen Inc; WO 9640673 A 1996 CAPLUS
- (3) Vertex Pharma; WO 9740028 A 1997 CAPLUS
- (4) Widdowson, K; WO 9749399 A 1997 CAPLUS
- (5) Widdowson, K; WO 9749400 A 1997 CAPLUS

L8 ANSWER 19 OF 35 MARPAT COPYRIGHT 2001 ACS

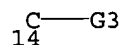
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G4—G1—G22—G29—G31
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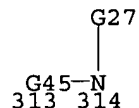
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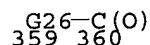
G2 = 14



G22 = O
 G26 = NH (SO)
 G29 = phenylene (SO)
 G40 = pyridyl (SO)
 G41 = 313-98 314-286

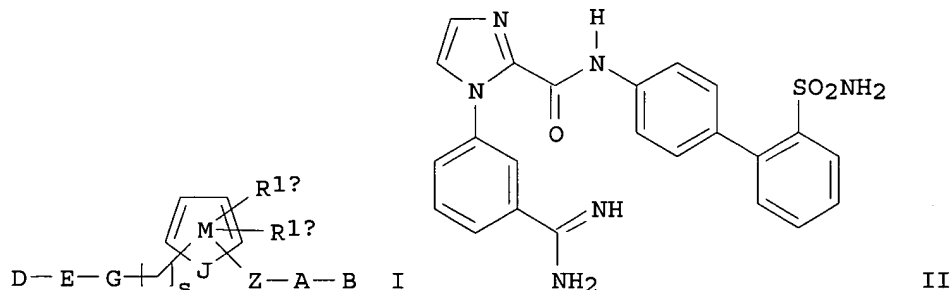


G45 = 359-98 360-314



DER: or pharmaceutically acceptable salts
 MPL: claim 1
 NTE: additional ring formation also claimed
 NTE: substitution is restricted
 STE: or stereoisomers

GI



AB The title compds. [I; ring M contains, in addn. to J, 0-3 N atoms; J = N, NH; D = CN, C(:NR8)NR7R9, C(O)NR7R8, etc.; E = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; DEG = R-substituted pyridyl; R = H, halo, CF3, etc.; G = absent, NHCH2, OCH2, etc.; Z = C1-4 alkylene, (CH2)rO(CH2)r, etc.; R1a, R1b = absent, NMe, OMe, etc.; A = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic contg. from 1-4 heteroatoms selected from N, O, and S; B = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic contg. from 1-4 heteroatoms selected from N, O, and S, etc.; R7 = H, OH, C1-6 alkyl, etc.; R8, R9 = H, C1-6 alkyl, (CH2)nPh; n = 0-3; r = 0-3; s = 0-2], useful as inhibitors of factor Xa, were prepd. and formulated. Thus, treatment of 4-[o-(tert-BuSO2)phenyl]aniline with Me3Al/hexane in CH2Cl2 followed by

the addn. of Me 1-(3-cyanophenyl)imidazol-2-ylcarboxylate (prepn. described), and the Pinner reaction of the resulting intermediate afforded the title compd. II. A no. of compds. I were found to exhibit a Ki of .ltoreq. 10 .mu.M against factor Xa. Some compds. I were evaluated and found to exhibit Ki of < 10 .mu.M against thrombin.

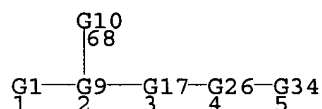
AN 129:109090 MARPAT
 TI Preparation of nitrogen-containing heteroaromatics as factor Xa inhibitors
 IN Pinto, Donald Joseph Phillip; Pruitt, James Russell; Cacciola, Joseph; Fevig, John Matthew; Han, Qi; Orwat, Michael James; Quan, Mimi Lifan; Rossi, Karen Anita
 PA The Dupont Merck Pharmaceutical Co., USA
 SO PCT Int. Appl., 438 pp.
 CODEN: PIXXD2
 DT Patent
 LA English

FAN.CNT 1

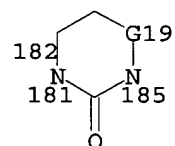
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PI	WO 9828269	A1	19980702	WO 1997-US22895	19971215
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	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9856020	A1	19980717	AU 1998-56020	19971215
	AU 730224	B2	20010301		
	EP 946508	A1	19991006	EP 1997-952409	19971215
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	CN 1246847	A	20000308	CN 1997-181852	19971215
	BR 9714073	A	20000509	BR 1997-14073	19971215
	JP 2001509145	T2	20010710	JP 1998-528845	19971215
	NO 9902633	A	19990820	NO 1999-2633	19990601
	LT 4673	B	20000725	LT 1999-76	19990622
	LV 12430	B	20000720	LV 1999-99	19990730
PRAI	US 1996-769859		19961223		
	US 1997-879944		19970620		
	WO 1997-US22895		19971215		

L8 ANSWER 20 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

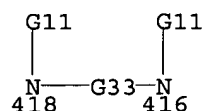


G24 = 181-2 185-4 182-180



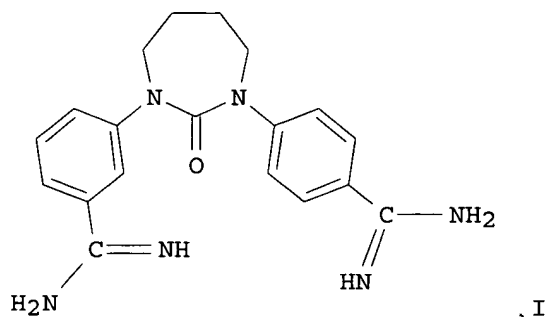
G27 = O
 G28 = phenylene

G33 = C(O)
 G40 = quinolinyl
 G41 = 418-4 416-375



DER: or pharmaceutically acceptable salts
 MPL: claim 1
 NTE: additional ring formation also claimed
 STE: or stereoisomers

GI



AB Title compds. and some related compds. were prepd. for use as anticoagulants (no data). Thus, 3-NCC6H4NH2 was treated with 4-NCC6H4NCO to give the urea which was cyclized with Br(CH2)4Br and subjected to aminolysis to give the diazepinone I.

AN 128:3708 MARPAT

TI N-(Amidinophenyl)-N'-substituted-3H-2,4-diazepin-3-one derivatives as factor Xa inhibitors

IN Maduskuie, Thomas Peter, Jr.; Galembo, Robert Anthony, Jr.; Dominguez, Celia; Quan, Mimi Lifan; Rossi, Karen Anita; Stouten, Petrus Fredericus Wilhelmus; Sun, Jung Hui; Wells, Brian Lloyd

PA Du Pont Merck Pharmaceutical Company, USA

SO PCT Int. Appl., 183 pp.

CODEN: PIXXD2

DT Patent

LA English

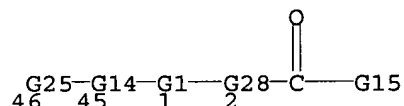
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 9738984	A1	19971023	WO 1997-US6431	19970417
	W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5925635	A	19990720	US 1997-838246	19970416
	CA 2251394	AA	19971023	CA 1997-2251394	19970417
	AU 9727339	A1	19971107	AU 1997-27339	19970417
	EP 960104	A1	19991201	EP 1997-921242	19970417

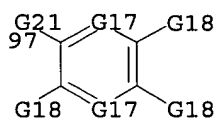
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE
PRAI US 1996-15684 19960417
US 1996-647127 19960509
US 1997-42532 19970401
US 1997-838246 19970416
WO 1997-US6431 19970417

L8 ANSWER 21 OF 35 MARPAT COPYRIGHT 2001 ACS

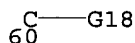
MSTR 1A



G1 = phenylene (SO (1-2) G2)
G14 = O
G15 = 97



G17 = N / 60



G21 = NH
G25 = Ph (SO (1-2) G2)
G28 = NH
MPL: claim 1
NTE: oxygen alternative in G37 is free radical

AB (R1)nP1A[P2(R2)m]NR3COR4 [R1, R2 = H, (substituted) alkyl; R3 = H, alkyl; R4 = (substituted) N-bonded bicycloheterocyclyl, aminopyrazinyl, aminopyridinyl, aminophenyl, etc.; P1, P2 = Ph, heterocyclyl contg. a quaternary N atom; A = bond, chain of 1-5 atoms (substituted) phenylene, heterocyclylene; n, m = 0-2], were prepd. as 5-HT2B/5-HT2C antagonists with increased soly./activity (no data). Thus, 5-methoxy-6-trifluoromethyl-1-[3-fluoro-5-(pyridin-3-yl)phenylcarbamoyl]indoline in MeCN was treated with sodium tetraphenylboron and bromomethyl acetate followed by 4 h reflux to give a tetraphenylborate salt which was subjected to ion exchange to give 100% 5-methoxy-6-trifluoromethyl-1-[3-fluoro-5-[1-(acetyloxy)methylpyridinium-3-yl]phenylcarbamoyl]indoline chloride.

AN 127:346304 MARPAT

TI Preparation of pyridinioarylcarbamoylindoline derivatives as serotonin receptor antagonists.

IN Bromidge, Steven Mark

PA Smithkline Beecham Plc, UK; Bromidge, Steven Mark

SO PCT Int. Appl., 21 pp.

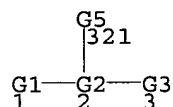
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

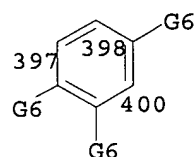
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9737989	A1	19971016	WO 1997-EP1611	19970326
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 891348	A1	19990120	EP 1997-915465	19970326
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
	JP 2001508399	T2	20010626	JP 1997-535805	19970326
	US 6028085	A	20000222	US 1998-155589	19980930
PRAI	GB 1996-7219		19960404		
	WO 1997-EP1611		19970326		

L8 ANSWER 22 OF 35 MARPAT COPYRIGHT 2001 ACS

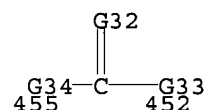
MSTR 1



G2 = 397-1 400-321 398-3



G4 = 455-2 452-418



G22 = O
G23 = Ph (SO)
G26 = pyridyl (SO)
G32 = O
G33 = NH
G34 = NH
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

AB Protein isoprenyl transferase inhibitors R3XC6H2R1R2R4 [R1 = H, alkyl, halo, aryl, heterocyclyl, etc.; R2 = (un)substituted Ph, CONHCHR5CO2R6 (R5 = alkyl, cycloalkyl, etc., R6 = H or protecting group); CONH-heterocyclyl, etc.; R3 = (un)substituted pyridyl or imidazolyl; R4 = H, alkyl, halo, aryl, etc.; X is absent or X1NR4X2, X1OX2 (X1 = absent, alkylene, or

alkenylene; X2 = absent, CH2, CH2CH2, CHMe, etc.)) were prepd. Thus, [4-(3-pyridyloxymethylene)-2-phenoxybenzoyl]methionine (I) was prepd. by coupling of 4-(3-pyridyloxymethylene)-2-phenoxybenzoic acid (synthesis described) with methionine Me ester hydrochloride, followed by sapon. Compd. I showed 92% inhibition of protein farnesyl transferase at 1 .mu.M.

AN 127:51002 MARPAT

TI Inhibitors of protein isoprenyl transferases

IN Sebti, Said M.; Hamilton, Andrew D.; Rosenberg, Saul H.; Augeri, David J.; Barr, Kenneth J.; Donner, Bernard G.; Fakhoury, Stephen A.; Janowick, David A.; Kalvin, Douglas M.; Larsen, John J.; Liu, Gang; O'Connor, Stephen J.; Shen, Wang; Swenson, Rolf E.; Sorenson, Bryan K.; Sullivan, Gerard M.; Szczepankiewicz, Bruce; Tasker, Andrew S.; Wasicak, James T.; Winn, Martin

PA University of Pittsburgh, USA

SO PCT Int. Appl., 260 pp.

CODEN: PIXXD2

DT Patent

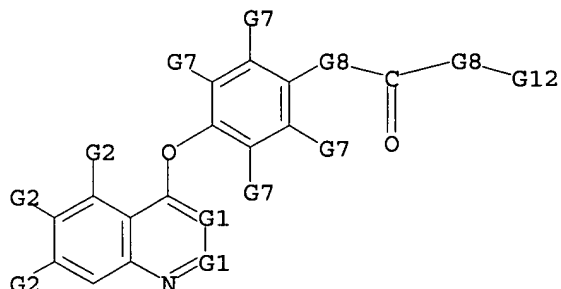
LA English

FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9717070	A1	19970515	WO 1996-US17092	19961105
	W: AU, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NZ				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9675975	A1	19970529	AU 1996-75975	19961105
	EP 873123	A1	19981028	EP 1996-938647	19961105
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2000500745	T2	20000125	JP 1997-518208	19961105
PRAI	US 1995-7247		19951106		
	WO 1996-US17092		19961105		

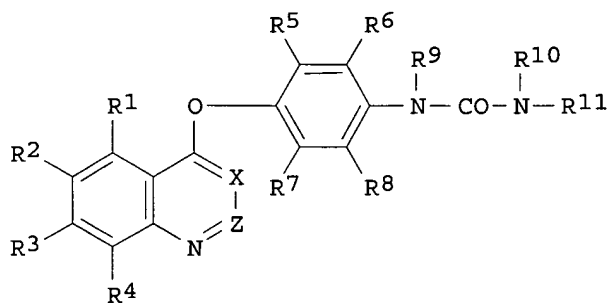
L8 ANSWER 7 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1



G1 = CH
 G8 = NH
 G12 = pyridyl (SO (1-) G23)
 DER: and pharmaceutically acceptable salts or solvates
 MPL: claim 1

GI



I

AB Title compds. [I; X and Z represent each CH or N; R1-3 represent each H, optionally substituted alkoxy, etc.; R4 represents H; R5-8 represent each H, halogeno, alkyl, alkoxy, alkylthio, nitro or amino, provided that all of R5-8 do not represent H simultaneously; R9 and R10 represent each H, alkyl or alkylcarbonyl; and R11 represents alkyl, alkenyl, alkynyl or aralkyl], pharmaceutically acceptable salts and solvates, and medicinal compns. contg. the same are prepd. and tested having antitumor activity and causing no morphol. change in cells. Thus, the title compd. I (X = CH; Z = CH; R1, R4, R5, R7-R10 each an H; R11 = 3,5-F2C6H3) was prepd. and tested.

AN 133:135235 MARPAT

TI Preparation and anti-tumor, anti-atherosclerosis, anti-psoriasis, anti-diabetes, and anti-arthritis activities of quinolines and quinazolines

IN Kubo, Kazuo; Fujiwara, Yasunari; Isoe, Toshiyuki

PA Kirin Beer Kabushiki Kaisha, Japan

Print selected from Online session27/12/2001

SO PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000043366	A1	20000727	WO 2000-JP255	20000120
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	BR 2000007656	A	20011030	BR 2000-7656	20000120
	EP 1153920	A1	20011114	EP 2000-900841	20000120
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	NO 2001002617	A	20010914	NO 2001-2617	20010529
PRAI	JP 1999-14858		19990122		
	JP 1999-26691		19990203		
	JP 1999-142493		19990521		
	JP 1999-253624		19990907		
	WO 2000-JP255		20000120		

RE.CNT 6

RE

- (1) Kirin Brewery Company Limited; EP 860433 A CAPLUS
- (2) Kirin Brewery Company Limited; WO 9717329 A1 1997 CAPLUS
- (3) Kirin Brewery Company Limited; JP 11158149 A 1999 CAPLUS
- (4) The Well Come Foundation Ltd; JP 10505600 A
- (5) The Well Come Foundation Ltd; EP 782570 A CAPLUS

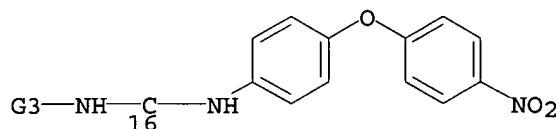
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

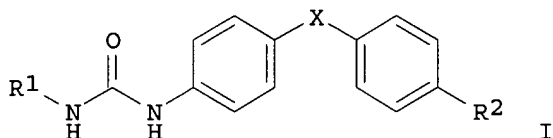
G1=O

G1 = 16

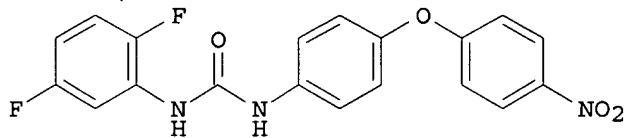


G3 = pyridyl
MPL: claim 1

GI



I



II

AB The invention relates to 1,3-disubstituted ureas I [R1 = (un)substituted aryl; R2 = NO₂, NH₂; X = O, S], and a method of prepg. them by treating arom. amines with isocyanates. The isocyanates may be formed in situ, and the reaction carried out in a solvent such as toluene, at, e.g., 80.degree.C. If a nitro group is formed, it may be reduced with H₂ in the presence of a Pd catalyst to give an amino group. The obtained 1,3-disubstituted ureas are inhibitors of the activity of the enzyme acyl co-enzyme A:cholesterol acyltransferase (ACAT), and may be used to inhibit cholesterol esterification and absorption in hypercholesterolemia. For instance, reaction of 4-(4'-nitrophenoxy)aniline with 2,5-difluorophenyl isocyanate gave 76% title compd. II. The latter gave 49% inhibition of rat liver ACAT at 2 .mu.M, and 58% inhibition of ACAT in rabbit intestinal mucosa, at the same concn., both in vitro.

AN 131:73441 MARPAT

TI 1,3-Disubstituted ureas useful as ACAT inhibitors, and method for their preparation

IN Oremus, Vladimir; Smahovsky, Vendelin; Faberova, Viera; Kakalik, Ivan; Schmidtova, Ludmila; Zemanek, Marian

PA Slovako- Farma, A.S., Slovakia

SO PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932437	A1	19990701	WO 1998-SK19	19981216
	W:			AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
	RW:			GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
	AU 9916976	A1	19990712	AU 1999-16976	19981216
	EP 1042278	A1	20001011	EP 1998-961715	19981216
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO	
	JP 2001526259	T2	20011218	JP 2000-525374	19981216
PRAI	SK 1997-1751		19971219		
	WO 1998-SK19		19981216		

RE.CNT 2

RE

- (1) Becker, H; US 3284433 A 1966 CAPLUS
- (2) Nippon Paper Industries; EP 0709225 A 1996 CAPLUS